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INFORMATION DISCLOSURE STATEMENT BY APPLICANT

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Sheet 1 of 2

Complete If Known

Application Number	09/876,252
Filing Date	June 7, 2001
First Named Inventor	Dominic P. Behan
Group Art Unit	1646
Examiner Name	N. Basi
Attorney Docket Number	7.US27.DIV

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U.S. PATENT DOCUMENTS

Examiner Initials *	Cite No. ¹	Document Number	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear
		Number - Kind Code ² (if known)			
		US-			
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FOREIGN PATENT DOCUMENTS

Examiner Initials *	Cite No. ¹	Foreign Patent Document	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear	T ⁶
		Country Code ³ - Number ⁴ - Kind Code ⁵ (if known)				
		WO 01/64836 A2	09/07/2001	Smithkline Beecham Corporation		
		WO 99/64436 A1	12/16/1999	Merck & Co., Inc.		
		WO 01/32710 A1	05/10/2001	Merck & Co., Inc.		
		WO 02/057791 A2	07/25/2002	Lifespan Biosciences, Inc.		
		WO 01/38355 A2	05/31/2001	Zymogenetics, Inc.		
		WO 00/22131	04/20/2000	Arena Pharmaceuticals, Inc.		

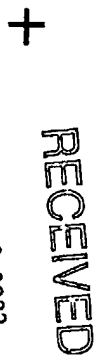
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Sheet 1 of 21

Form PTO-1449 Modified List of Patent and Publications Cited by Applicant (Use several sheets if necessary) U.S. Department of Commerce Patent and Trademark Office		Docket No. AREN-0240	Serial No. 09/876,252
		Applicant Dominic P. Behan, et al.	
		Filing Date June 7, 2001	Group 1646 Not Yet Assigned
OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)			
<i>N/M</i>	AA	Alla, S.A. et al., "Extracellular domains of the bradykinin B2 receptor involved in ligand binding and agonist sensing defined by anti-peptide antibodies," <i>J. Biol. Chem.</i> , 1996, 271, 1748-1755	
	AB	Advenier, C. et al., "Effects on the isolated human bronchus of SR 48968, a potent and selective nonpeptide antagonist of the neurokinin A (NK ₂) receptors," <i>Am. Rev. Respir. Dis.</i> , 1992, 146(5, Pt. 1), 1177-1181	
	AC	Alexander, W.S. et al., "Point mutations within the dimer interfact homology domain of c-Mpl induce constitutive receptor activity and tumorigenicity," <i>EMBO J.</i> , 1995, 14(22), 5569-5578	
	AD	Arvanitakis, L. et al., "Human herpesvirus KSHV encodes a constitutively active G-protein-coupled receptor linked to cell proliferation," <i>Nature</i> , 1997, 385, 347-349	
	AE	Barker, E.L. et al., "Constitutively active 5-hydroxytryptamine _{2C} receptors reveal novel inverse agonist activity of receptor ligands," <i>J. Biol. Chem.</i> , 1994, 269(16), 11687-11690	
	AF	Baxter, G., "5-HT ₂ receptors: a family re-united?" <i>Trends Pharmacol. Sci.</i> , 1995, 16, 105-110	
	AG	Besmer, P. et al., "A new acute transforming feline retrovirus and relationship of its oncogene <i>v-kit</i> with the protein kinase gene family," <i>Nature</i> , 1986, 320, 415	
	AH	Blin, N. et al., "Mapping of single amino acid residues required for selective activation of G _{q/11} by the m3 muscarinic acetylcholine receptor," <i>J. Biol. Chem.</i> , 1995, 270, 17741-17748	
	AI	Bond, R.A. et al., "Inverse agonists and G-protein-coupled receptors," in <i>Receptor-Based Drug Design</i> , Leff, P. (ed.), New York, M. Dekker, 1998, 363-377	
	AJ	Boone, C. et al., "Mutations that alter the third cytoplasmic loop of the α -factor receptor lead to a constitutive and hypersensitive phenotype," <i>Proc. Natl. Acad. Sci. USA</i> , 1993, 90(21), 9921-9925	
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List of Patent and Publications
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Patent and Trademark Office

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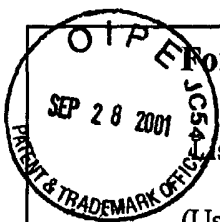
Applicant
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Filing Date
June 7, 2001


Group **1646**
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


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AK	Burstein, E.S. et al., "Constitutive activation of chimeric m2/m5 muscarinic receptors and delineation of G-protein coupling selectivity domains," <i>Biochem. Pharmacol.</i> , 1996, 51(4), 539-544
AL	Burstein, E.S. et al., "Amino acid side chains that define muscarinic receptor/G-protein coupling. Studies of the third intracellular loop," <i>J. Biol. Chem.</i> , 1996, 271(6), 2882-2885
AM	Burstein, E.S. et al., "Constitutive activation of muscarinic receptors by the G-protein G _q ," <i>FEBS Lett.</i> , 1995, 363(3), 261-263
AN	Bylund, D., "International union of pharmacology nomenclature of adrenoceptors," <i>Pharmacol. Rev.</i> , 1994, 46, 121-136
AO	Casey, C. et al., "Constitutively active mutant 5-HT _{2A} serotonin receptors: inverse agonist activity of classical 5HT _{2A} antagonists," <i>Soc. Neurosci.</i> , 1996, Abstract #699.10
AP	Cheatham, B. et al., "Substitution of the <i>erbB-2</i> oncoprotein transmembrane domain activates the insulin receptor and modulates the action of insulin-receptor substrate 1," <i>Proc. Natl. Acad. Sci. USA</i> , 1993, 90, 7336-7340
AQ	Chen, J. et al., "Tethered Ligand Library for Discovery of Peptide Agonists," <i>J. Biol. Chem.</i> , 1995, 270, 23398-23401
AR	Chen, T.S. et al., "Microbial hydroxylation and glucuronidation of the angiotensin II (AII) receptor antagonist MK 954," <i>J. Antibiot. (Tokyo)</i> , 1993, 46(1), 131-134
AS	Chen, W. et al., "A colorimetric assay for measuring activation of G _i - and G _q -coupled signaling pathways," <i>Anal. Biochem.</i> , 1995, 226(2), 349-354
AT	Chidiac, P. et al., "Inverse agonist activity of β -adrenergic antagonists," <i>J. Pharm. Exp. Ther.</i> , 1994, 45, 490-499
AU	Clozel, M. et al., "In vivo pharmacology of Ro 46-2005, the first synthetic nonpeptide endothelin receptor antagonist: implications for endothelin physiology," <i>J. Cardiovas. Pharmacol.</i> , 1993, 22(Suppl. 8), S377-S379
EXAMINER	NCB
DATE CONSIDERED	12/13/02



Form PTO-1449 Modified List of Patent and Publications Cited by Applicant (Use several sheets if necessary) U.S. Department of Commerce Patent and Trademark Office		Docket No. AREN-0240	Serial No. 09/876,252
		Applicant Dominic P. Behan, et al.	
		Filing Date June 7, 2001	Group 1066 Not Yet Assigned
OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)			
MSB	AV	Collesi, C. et al., "A splicing variant of the <i>RON</i> transcript induces constitutive tyrosine kinase activity and an invasive phenotype," <i>Mol. Cell. Biol.</i> , 1996, 16(2), 5518-5526	
	AW	Cooper, C.S. et al., "Molecular cloning of a new transforming gene from a chemically transformed human cell line," <i>Nature</i> , 1984, 311, 29-33	
	AX	De Dios, I. et al., "Effect of L-364,718 (CCK Receptor Antagonist) on Exocrine Pancreatic Secretion of Hydrocortison-Treated Rats," <i>Pancreas</i> , 1994, 9(2), 212-218	
	AY	Desbios-Mouthon, C. et al., "Deletion of Asn ²⁸¹ in the α -subunit of the human insulin receptor causes constitutive activation of the receptor and insulin desensitization," <i>J. Clin. Endocrinol. Metab.</i> , 1996, 81(2), 719-727	
	AZ	Di Renzo, M.F. et al., "Expression of the Met/HGF receptor in normal and neoplastic human tissues," <i>Oncogene</i> , 1991, 6(11), 1997-2003	
	BA	Di Renzo, M.F. et al., "Overexpression of the c-MET/HGF receptor gene in human thyroid carcinomas," <i>Oncogene</i> , 1992, 7, 2549-2553	
	BB	Duprez, L. et al., "Germline mutations of the thyrotropin receptor gene cause non-autoimmune autosomal dominant hyperthyroidism," <i>Nature Genetics</i> , 1994, 7, 396-401	
	BC	Eggericksx, D. et al., "Molecular Cloning of an Orphan G-Protein-Coupled Receptor that Constitutively Activates Adenylate Cyclase," <i>Biochem. J.</i> , 1995, 309, 837-843	
	BD	Evans, B.E. et al., "Orally Active, Nonpeptide Oxytocin Antagonists," <i>J. Med. Chem.</i> , 1992, 35, 3919-3927	
✓	BE	Fu, M. et al., "Functional autoimmune epitope on α_1 -adrenergic receptors in patients with malignant hypertension," <i>Lancet</i> , 1994, 344, 1660-1663	
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 Form PTO-1449 Modified List of Patent and Publications Cited by Applicant (Use several sheets if necessary) U.S. Department of Commerce Patent and Trademark Office		Docket No. AREN-0240	Serial No. 09/876,252
		Applicant Dominic P. Behan, et al.	
		Filing Date June 7, 2001	Group Not Yet Assigned <i>1626</i>
OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)			
<i>NJB</i>	BF	Furitsu, T. et al., "Identification of Mutations in the Coding Sequence of the Proto-oncogene <i>c-kit</i> in a Human Mast Cell Leukemia Cell Line Causing Ligand-independent Activation of <i>c-kit</i> Product," <i>J. Clin. Invest.</i> , 1993, 92, 1736-1744	
<i>f</i>	BG	Gellai, M. et al., "Nonpeptide Endothelin Receptor Antagonists V: Prevention and Reversal of Acute Renal Failure in the Rat by SB-209670," <i>J. Pharm. Exp. Therap.</i> , 1995, 275(1), 200-206	
	BH	Gitter, B. et al., "Pharmacological Characterization of LY303870: A Novel Potent and Selective Nonpeptide Substance P (Neurokinin-1) Receptor Antagonist," <i>J. Pharm. Exp. Therap.</i> , 1995, 275(2), 737-744	
	BI	Gouilleux-Gruart, V. et al., "STAT-Related Transcription Factors are Constitutively Activated in Peripheral Blood Cells from Acute Leukemia Patients," <i>Blood</i> , 1996, 87(5), 1692-1697	
	BJ	Hansson, J.H. et al., "Hypertension caused by a truncated epithelial sodium channel γ subunit: genetic heterogeneity of Liddle syndrome," <i>Nat. Genet.</i> , 1995, 11(1), 76-82	
	BK	Hasegawa, H. et al., "Two Isoforms of the Prostaglandin E Receptor EP3 Subtype Different in Agonist-independent Constitutive Activity," <i>J. Biol. Chem.</i> , 1996, 271(4), 1857-1860	
	BL	Hendler, F. et al., "Human Squamous Cell Lung Cancers Express Increased Epidermal Growth Factor Receptors," <i>J. Clin. Invest.</i> , 1984, 74, 647-651	
	BM	Herrick-Davis, K. et al., "Constitutively Active 5HT _{2C} Serotonin Receptor Created by Site-Directed Mutagenesis," <i>Soc. Neurosci.</i> , Abstract No. 699.18	
	BN	Hieble, J., "International union of pharmacology. X. Recommendation for nomenclature of 1-adrenoceptors," <i>Pharm. Rev.</i> , 1995, 47, 267-270	
<i>✓</i>	BO	Hill, S., "Distribution, Properties, and Functional Characteristics of Three Classes of Histamine Receptor," <i>Am. Soc. Pharm. Exp. Therap.</i> , 1990, 42(1), 45-83	
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OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)			
	BP	Högger, P. et al., "Activating and Inactivating Mutations in - and C-terminal i3 Loop Junctions of Muscarinic Acetylcholine Hm1 Receptors," <i>J. Biol. Chem.</i> , 1995, 270(13), 7405-7410	
	BQ	Ikeda, H. et al., "Expression and Functional Role of the Proto-oncogene <i>c-kit</i> in Acute Myeloblastic Leukemia Cells," <i>Blood</i> , 1991, 78(11), 2962-2968	
	BR	Imura, R. et al., "Inhibition by HS-142-1, a novel nonpeptide atrial natriuretic peptide antagonist of microbial origin, of atrial natriuretic peptide-induced relaxation of isolated rabbit aorta through the blockade of guanylyl cyclase-linked receptors," <i>Mol. Pharm.</i> , 1992, 42, 982-990	
	BS	Jakubik, J. et al., "Constitutive activity of the M ₁ -M ₄ subtypes of muscarinic receptors in transfected CHO cells and of muscarinic receptors in the heart cells revealed by negative antagonists," <i>FEBS Letts.</i> , 1995, 377, 275-279	
	BT	Kjelsberg, M.A. et al., "Constitutive activation of the α_{1B} -adrenergic receptor by all amino acid substitutions at a single site," <i>J. Biol. Chem.</i> , 1992, 267(3), 1430-1433	
	BU	Knapp, R. et al., "Molecular biology and pharmacology of cloned opioid receptors," <i>FASEB J.</i> , 1995, 9, 516-525	
	BV	Kosugi, S. et al., "Characterization of heterogeneous mutations causing constitutive activation of the luteinizing hormone receptor in familial male precocious puberty," <i>Human Mol. Genetics</i> , 1995, 4(2), 183-188	
	BW	Kosugi, S. et al., "Identification of Thyroid-Stimulating Antibody-Specific Interaction Sites in the N-Terminal Region of the Thyrotropin Receptor," <i>Mol. Endocrinology</i> , 1993, 7, 114-130	
	BX	Kraus, M. et al., "Demonstration of ligand-dependent signaling by the <i>erbB-3</i> tyrosine kinase and its constitutive activation in human breast tumor cells," <i>Proc. Natl. Acad. Sci. USA</i> , 1993, 90, 2900-2904	
	BY	Kudlacz, E. et al., "In Vitro and In Vivo Characterization of MDL 105,212A, a Nonpeptide NK-1/NK-2 Tachykinin Receptor Antagonist," <i>J. Pharm. Exp. Therap.</i> , 1996, 277(2), 840-851	
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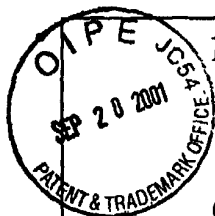


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MSK	CA	Labbé-Jullié, C. et al., "Effect of the nonpeptide neurotensin antagonist, SR 48692, and two enantiomeric analogs, SR 48527 and SR 49711, on neurotension binding and contractile responses in guinea pig ileum and colon," <i>J. Pharm. Exp. Therap.</i> , 1994, 271(1), 267-276
	CB	Latronico, A. et al., "A novel mutation of the luteinizing hormone receptor gene causing male gonadotropin-independent precocious puberty," <i>J. Clin. Endocrinol. Metab.</i> , 1995, 80(8), 2490-2494
	CC	Laue, L. et al., "Genetic heterogeneity of constitutively activating mutations of the human luteinizing hormone receptor in familial male-limited precocious puberty," <i>Proc. Natl. Acad. Sci USA</i> , 1995, 92, 1906-1910
	CD	Løvlie, R. et al., "The Ca ²⁺ -sensing receptor gene (PCAR1) mutation T151M in isolated autosomal dominant hypoparathyroidism," <i>Hum. Genet.</i> , 1996, 98, 129-133
	CE	Lefkowitz, R. et al., "Constitutive activity of receptors coupled to guanine nucleotide regulatory proteins," <i>Trends Pharmacol. Sci.</i> , 1993, 14, 300-307
	CF	Libermann, T. et al., "Amplification, enhanced expression and possible rearrangement of EGF receptor gene in primary human brain tumours of glial origin," <i>Nature</i> , 1985, 313, 144-147
	CG	Liu, C. et al., "Overexpression of c-met proto-oncogene but not epidermal growth factor receptor or c-erbB-2 in primary human colorectal carcinomas," <i>Oncogene</i> , 1992, 7, 181-185
	CH	Liu, J. et al., "Molecular mechanisms involved in muscarinic acetylcholine receptor-mediated G protein activation studied by insertion mutagenesis," <i>J. Biol. Chem.</i> , 1996, 271(11), 6172-6178
	CI	Lonardo, F. et al., "The normal erbB-2 product is an atypical receptor-like tyrosine kinase with constitutive activity in the absence of ligand," <i>New Biologist</i> , 1990, 2(11), 992-1003
✓	CJ	Maenhaut, C. et al., "RDC8 codes for an adenosine A2 receptor with physiological constitutive activity," <i>Biochem. Biophys. Res. Comm.</i> , 1990, 173(3), 1169-1178
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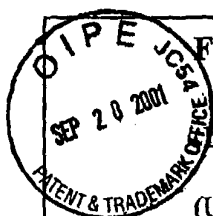


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NRB	CK	Mann, J. et al., "Increased serotonin ₂ and β -adrenergic receptor binding in the frontal cortices of suicide victims," <i>Arch. Gen. Psychiatry</i> , 1986, 43, 954-959
	CL	Marone, R.L. et al., "Human CRF receptor chimeras: Mapping of ligand binding determinants," 26th Meeting of the Society of Neuroscience, Washington, D.C. November 16-21, 1996, Abstract No. 609.8
	CM	Magnusson, Y. et al., "Autoimmunity in idiopathic dilated cardiomyopathy," <i>Circulation</i> , 1994, 89, 2760-2767
	CN	Matus-Leibovitch, N. et al., "Truncation of the thyrotropin-releasing hormone receptor carboxyl tail causes constitutive activity and leads to impaired responsiveness in <i>Xenopus</i> Oocytes and AtT20 Cells," <i>J. Biol. Chem.</i> , 1995, 270(3), 1041-1047
	CO	Myles, G.M. et al., "Tyrosine 569 in the c-Fms juxtamembrane domain is essential for kinase activity and macrophage colony-stimulating factor-dependent internalization," <i>Mol. Cell. Biol.</i> , 1994, 14(7), 4843-4854
	CP	Nanevich, T. et al., "Thrombin receptor activating mutations," <i>J. Biol. Chem.</i> , 1996, 271(2), 702-706
	CQ	Natali, P.G. et al., "Expression of the c-Met/HGF receptor in human melanocytic neoplasms: demonstration of the relationship to malignant melanoma tumour progression," <i>Br. J. Cancer</i> , 1993, 68, 746-750
	CR	Neilson, K.M. et al., "Constitutive activation of fibroblast growth factor receptor-2 by a point mutation associated with Crouzon syndrome," <i>J. Biol. Chem.</i> , 1995, 270(44), 26037-26040
	CS	Oda, S. et al., "Pharmacological profile of HS-142-1, a novel nonpeptide atrial natriuretic peptide (ANP) antagonist of microbial origin. II. Restoration by HS-142-1 of ANP-induced inhibition of aldosterone production in adrenal glomerulosa cells," <i>J. Pharm. Exp. Ther.</i> , 1992, 263(1), 241-245
✓	CT	O'Dowd, B.F. et al., "Site-directed mutagenesis of the cytoplasmic domains of the human β 2-adrenergic receptor," <i>J. Biol. Chem.</i> , 1988, 263(31), 15985-15992
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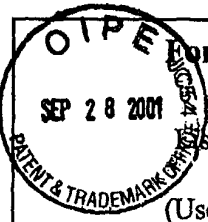


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N/B	CU	Offermanns, S. et al., "G α_{15} and G α_{16} Couple a Wide Variety of Receptors to Phospholipase C," <i>J. Biol. Chem.</i> , 1995, 270, 15175-15180
	CV	Palkowitz, A.D. et al., "Structural evolution and pharmacology of a novel series of triacid angiotensin II receptor antagonists," <i>J. Med. Chem.</i> , 1994, 37, 4508-4521
	CW	Parent, J. et al., "Mutations of two adjacent amino acids generate inactive and constitutively active forms of the human platelet-activating factor receptor," <i>J. Biol. Chem.</i> , 1996, 271(14), 7949-7955
	CX	Parfitt, A.M. et al., "Hypercalcemia due to constitutive activity of the parathyroid hormone (PTH)/PTH-related peptide receptor: comparison with primary hyperparathyroidism," <i>J. Clin. Endocr. Metabl.</i> , 1996, 81, 3584-3588
	CY	Parma, J. et al., "Somatic mutations in the thyrotropin receptor gene cause hyperfunctioning thyroid adenomas," <i>Nature</i> , 1993, 365, 649-651
	CZ	Pei, G. et al., "A constitutive active mutant β_2 -adrenergic receptor is constitutively desensitized and phosphorylated," <i>Proc. Natl. Acad. Sci. USA</i> , 1994, 91, 2699-2702
	DA	Pendley, C.E. et al., "The gastrin/cholecystokinin-B receptor antagonist L-365,260 reduces basal acid secretion and prevents gastrointestinal damage induced by aspirin, ethanol and cysteamine in the rat," <i>J. Pharmacol. Exp. Ther.</i> , 1993, 265(3), 1348-1354
	DB	Peroutka, S., "Serotonin receptor subtypes. Their evolution and clinical relevance," <i>CNS Drugs</i> , 1995, 4 (Suppl. 1), 18-28
	DC	Pettibone, D.J. et al., "Development and pharmacological assessment of novel peptide and nonpeptide oxytocin antagonists," <i>Regul. Pept.</i> , 1993, 45, 289-293
✓	DD	Prat, M.P. et al., "The receptor encoded by the human c-Met oncogene is expressed in hepatocytes, epithelial cells and solid tumors," <i>Int. J. Cancer</i> , 1991, 49, 323-328
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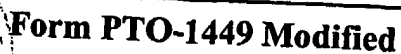
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